

1. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula I below:



R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R¹ and R² together with the nitrogen atom bound to R² and the SO₂ group bound to R¹ can form a heterocyclic or a substituted heterocyclic group;

R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R² does not form a heterocyclic group with R¹, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ can form a heterocyclic or a substituted heterocyclic group;

R⁵ is -(CH₂)_x-Ar-R^{5'} where R^{5'} is selected from the group consisting of -O-Z-NR⁸R^{8'} and -O-Z-R^{8''} wherein R⁸ and R^{8'} are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R⁸ and R^{8'} are joined to form a

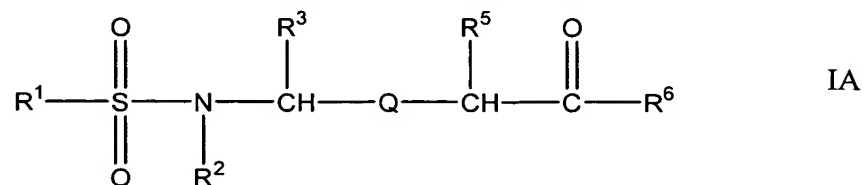
heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

5 x is an integer of from 1 to 4;

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

10 2. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IA below:



15 wherein:

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

20 R² is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R¹ and R² together with the nitrogen atom bound to R² and the SO₂ group bound to R¹ can form a heterocyclic or a substituted heterocyclic group;

25 R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R² does not form a

heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-C(O)-$ and $-SO_2-$;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, $-O-(N-succinimidyl)$, $-NH$ -adamantyl, $-O$ -cholest-5-en-3- β -yl, $-NHOY$ where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where p is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of $-C(O)$ -aryl and $-C(O)$ -substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R^1 and R^2 together with the SO_2 group pendent to R^1 and the nitrogen pendent to R^2 form a saccharin-2-yl group, R^3 is $-CH_3$, R^5 is $p-[(CH_3)_2NC(O)O-]$ benzyl and Q is $-C(O)NH-$ then R^6 is not $-OC(CH_3)_3$;

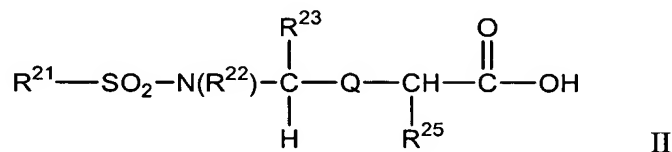
(B) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a pyrrodinyl ring derived from D-proline; R^5 is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R^6 is not -OC(CH₃)₃;

5 (C) when R^1 is pyrimidin-2-yl, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a pyrrolidinyl ring, R^5 is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R^6 is not -OC(CH₃)₃; and

(D) when R^1 is *p*-methylphenyl, R^2 and R^3 together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 form a (2S)-piperazin-2-carbonyl ring;
10 R^5 is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R^6 is not -OC(CH₃)₃.

3. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula II below:

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wherein:

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO₂ group bound to R^{21}
25 can form a heterocyclic or a substituted heterocyclic group;

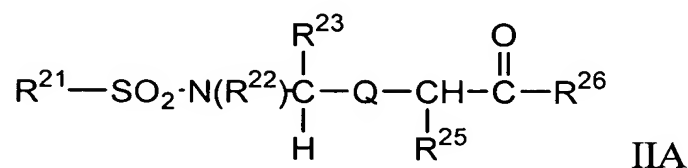
R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ; and pharmaceutically acceptable salts thereof.

4. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIA below:



where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

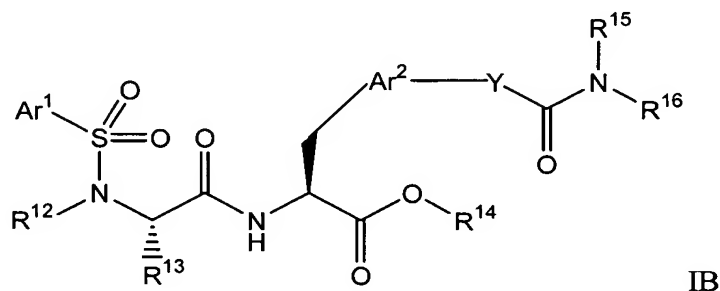
R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where p is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^{29}R^{30}$ where R^{29} is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-CH_2COOR^{31}$ where R^{31} is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;
and pharmaceutically acceptable salts thereof.

5. A method of promoting remyelination of nerve cells in a mammal
5 comprising administering to the mammal in need thereof a compound in a
remyelinating effective amount, wherein the compound is of formula IB below:



10 wherein:

Ar¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

- 15 R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom
20 bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

5 R¹⁶ is selected from the group consisting of alkyl and substituted alkyl or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

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6. The method according to claim 5, wherein R¹² is alkyl, substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group; and R¹⁴ is hydrogen or alkyl.

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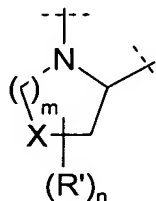
7. The method according to claim 5, wherein Ar¹ is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)-]phenyl, 4-chloro-3-[H₂NS(O)₂-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-

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methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

- 5 8. The method according to claim 5, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



10 wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

15 R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

9. The method according to claim 8, wherein *m* is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

- 20 10. The method according to claim 8, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-[CH₃S(O)₂O-]pyrrolidiny, 3-phenylpyrrolidiny, 3-
25 thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-

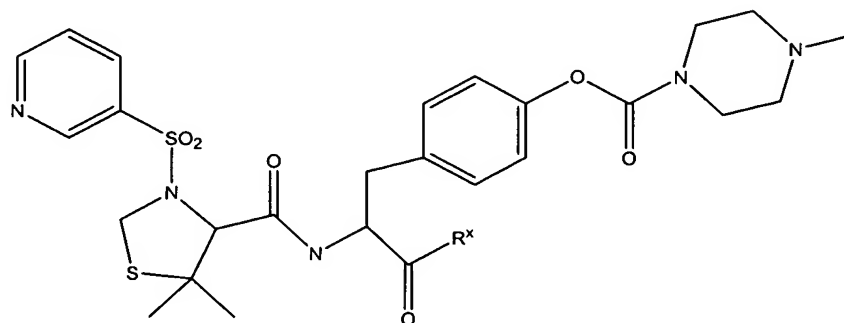
dimethylpyrrolidinyl, 4-*N*-Cbz-piperazinyl, 4-[CH₃S(O)₂]-piperazinyl, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiormorpholinyl.

5 11. The method according to claim 5, wherein Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

12. The method according to claim 5, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-,
 10 (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxypiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetyl piperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-,
 15 (4-methanesulfonylpiperazin-1-yl)-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl)-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(*N,N*-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(*N*-methyl-*N*-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxy)ethyl)(CH₃)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

13. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IC below:

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IC

wherein

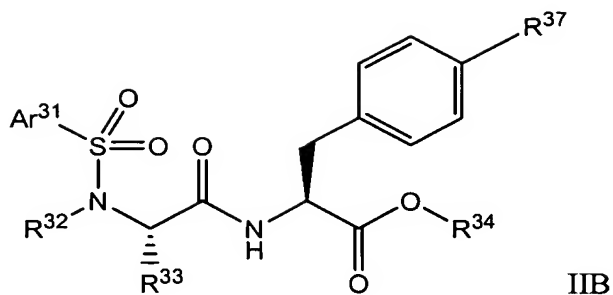
10 R^x is hydroxy or C_{1-5} alkoxy; and
pharmaceutically acceptable salts thereof.

14. The method according to claim 13, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-*L*-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-*L*-tyrosine isopropyl ester.

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15. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is of formula IIB below:

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wherein:

5 Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

10 R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

15 R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

20 16. The method according to claim 15, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group; and R^{34} is hydrogen or alkyl.

17. The method according to claim 15, wherein R³⁷ is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

18. The method according to claim 17, wherein R³⁷ is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

19. The method according to claim 17, wherein R³⁷ is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

20. The method according to claim 19, wherein R³⁷ is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

21. The method according to claim 15, wherein Ar³¹ is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

22. A method of promoting remyelination of nerve cells in a mammal comprising administering to the mammal in need thereof a compound in a remyelinating effective amount, wherein the compound is selected from the group consisting of:

- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine ethyl ester

- N*-(α -toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester
- 5 *N*-(α -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

- N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(4-fluorobenzenesulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40

- N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 10 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyll-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one

- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one
- N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester

- N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine
- 15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N'-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester
- 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 15 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine
- 25 N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine
- 40 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

- N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 5 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 10 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 30 *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

- N*-(3,4-difluorobenzenesulfonyl)-*L*-prolyl-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 5 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine ethyl ester
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 *N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 *N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 35 *N*-(3-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(2-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 40 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

- N*-(3,5-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 5 *N*-(2,4-difluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 10 *N*-(4-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(3-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 20 *N*-(2-chlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 25 *N*-(3,4-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 30 *N*-(3,5-dichlorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 35 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester

- N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 5 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(1-methylpyrazole-4-sulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(3,4-difluorobenzenesulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiopropyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(3,4-difluorobenzenesulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiopropyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiopropyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 25 *N*-(8-quinolinesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 30 *N*-(8-quinolinesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiopropyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 35 *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiopropyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-propyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-propyl-L-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(2,4-difluorobenzenesulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine 2,2-dimethylpropyl ester
- 25 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine 2,2-dimethylpropyl ester
- 30 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine cyclopropylmethyl ester
- 35 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine methyl ester
- 40 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine ethyl ester
- 45 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine cyclopropylmethyl ester
- 50 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine 2-methoxyphenyl ester
- 55 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *n*-butyl ester
- 60 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *n*-propyl ester
- 65 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester

- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-(*N*-phenylurea)benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine

- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine isopropyl ester
- 20 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamylloxy)phenylalanine isopropyl ester
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- N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 5 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester
- 20 *N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy]phenylalanine isopropyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
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- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 20 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 25 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
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- N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 5 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-trifluoromethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 25 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylimidazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
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N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

15 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

20 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

25 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

23. The method according to any one of claims 5, 13, and 15, wherein the mammal is a human.

30

24. The method according to any one of claims 5, 13, and 15, wherein the human suffers from a condition which demyelinate cells, and wherein said condition is multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

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25. The method according to claim 24, wherein the human suffers from multiple sclerosis.

5 26. The method according to any one of claims 5, 13, and 15, wherein the compound is administered parenterally.

27. The method according to any one of claims 5, 13, and 15, wherein the compound is administered chronically to the mammal in need thereof.

10 28. The method according to claim 27, wherein the chronic administration of the compound is weekly or monthly over a period of at least one year.

15 29. The method according to any one of claims 5, 13, and 15, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

30. The method according to claim 29, wherein an anti-inflammatory agent is co-administered with the compound to the mammal.

20 31. The method according to claim 30, wherein the anti-inflammatory agent is adrenocorticotrophic hormone, a corticosteroid, an interferon, glatiramer acetate, or a non-steroidal anti-inflammatory drug.

25 32. The method according to claim 31, wherein the interferon is interferon beta-1b or interferon beta-1a.

33. The method according to claim 31, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone cortisol, cortisone, fludrocortisone, prednisolone, 6 α -methylprednisolone, triamcinolone, or betamethasone.

34. The method according to claim 33, wherein the corticosteroid is prednisone.

35. The method according to claim 31, wherein the non-steroidal anti-inflammatory drug is aspirin, a sodium salicylate, choline magnesium trisalicylate, salsalate, diflunisal, sulfasalazine, olsalazine, a para-aminophenol derivatives, an indole, an indene acetic acid, a heteroaryl acetic acid, an anthranilic acid, an enolic acid, an alkanones, a diaryl-substituted furanone, a diaryl-substituted pyrazoles, an indole acetic acids, or a sulfonanilide.

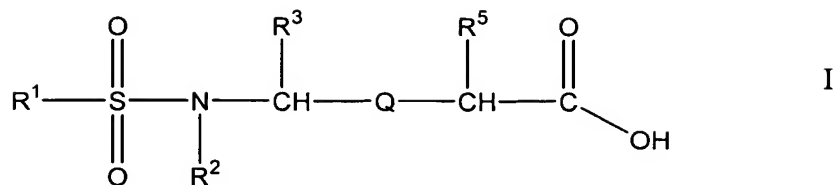
36. The method according to any one of claims 5, 13, and 15, wherein the compound is administered intravenously or subcutaneously.

37. The method according to claim 36, wherein the compound is administered intravenously to a mammal, and wherein the administration results in an effective blood level of the compound in the mammal of ≥ 10 ng/ml.

38. The method according to claim 36, wherein the compound is administered intravenously in an amount of 20 μ g to about 500 μ g per kilogram body weight of the mammal.

39. A combination therapy comprising a therapeutically effective amount of a compound, which prevents demyelination and promotes remyelination when administered to a subject in need thereof, and an anti-inflammatory agent.

40. The combination therapy according to claim 39, wherein the compound is of formula I below:



wherein

5 R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

15 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

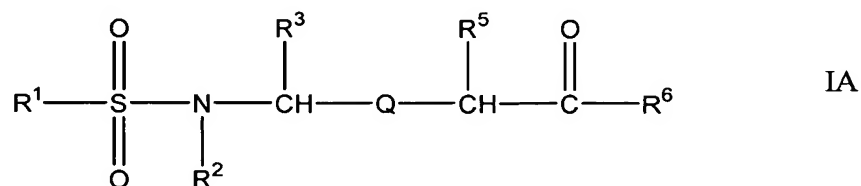
20 R^5 is $-(\text{CH}_2)_x - \text{Ar} - \text{R}^{5'}$ where $\text{R}^{5'}$ is selected from the group consisting of $-\text{O}-\text{Z}-\text{NR}^8\text{R}^{8'}$ and $-\text{O}-\text{Z}-\text{R}^{8''}$ wherein R^8 and $\text{R}^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $\text{R}^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $\text{R}^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-\text{C}(\text{O})-$ and $-\text{SO}_2-$;

25 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

41. The combination therapy according to claim 39, wherein the compound is of formula IA below:



wherein:

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(\text{CH}_2)_x-\text{Ar}-\text{R}^{5'}$ where $\text{R}^{5'}$ is selected from the group consisting of $-\text{O}-\text{Z}-\text{NR}^8\text{R}^{8'}$ and $-\text{O}-\text{Z}-\text{R}^{8''}$ wherein R^8 and $\text{R}^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,

heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

5 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, 10 alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹ where R¹¹ is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, 15 substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof

20 with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

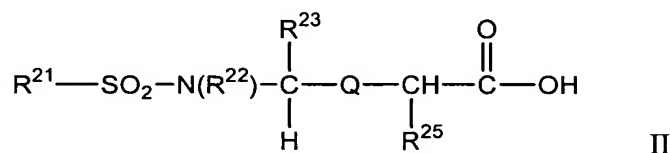
(B) when R¹ is p-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrolidinyl ring derived from 25 D-proline; R⁵ is p-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

(C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidiny ring, R⁵ is *p*-

[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃; and

(D) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

42. The combination therapy according to claim 39, wherein the compound is of formula II below:



wherein:

R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R²² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R²¹ and R²² together with the nitrogen atom bound to R²² and the SO₂ group bound to R²¹ can form a heterocyclic or a substituted heterocyclic group;

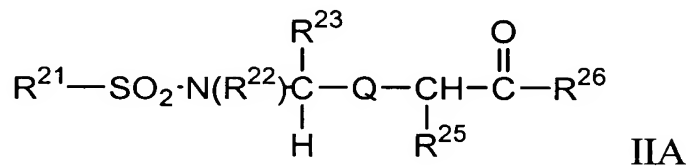
R²³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R²² and R²³ together with the nitrogen atom bound to R²² and the carbon atom bound to R²³ can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl;

X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-\text{CH}_2\text{Ar}^{22}-\text{R}^{25'}$ where Ar^{22} is aryl or heteroaryl and $\text{R}^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ; and pharmaceutically acceptable salts thereof.

43. The combination therapy according to claim 39, wherein the compound is of formula IIA below:



where

R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the

nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

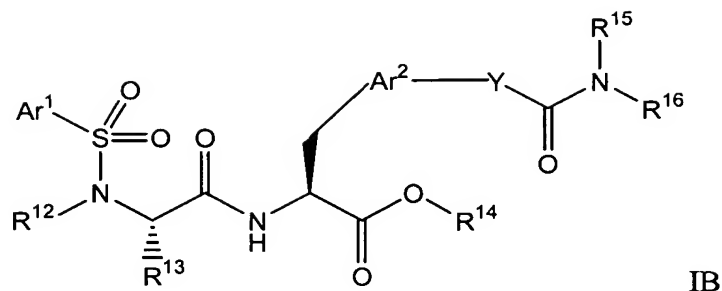
5 R^{25} is $-\text{CH}_2\text{Ar}^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

10 R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-\text{NH}(\text{CH}_2)_p\text{COOY}$ where p is an integer of from 1 to 8 and Y is as defined above, $-\text{OCH}_2\text{NR}^{29}\text{R}^{30}$ where R^{29} is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{30} is selected
15 from the group consisting of hydrogen and $-\text{CH}_2\text{COOR}^{31}$ where R^{31} is alkyl, and - $\text{NHSO}_2\text{Z}'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

20 Q is $-\text{C}(\text{X})\text{NR}^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;
and pharmaceutically acceptable salts thereof.

25 44. The combination therapy according to claim 39, wherein the compound is of formula IB below:



wherein:

Ar¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R¹⁶ is selected from the group consisting of alkyl and substituted alkyl or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

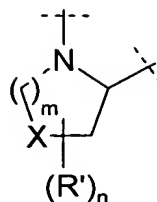
Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

45. The combination therapy according to claim 44, wherein R^{12} is alkyl, substituted alkyl, or R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic group; and R^{14} is hydrogen or alkyl.

46. The combination therapy according to claim 44, wherein Ar^1 is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-($H_2NC(O)-$)phenyl, 4-($H_2NC(S)-$)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-($CH_3C(O)NH-$)phenyl, 4-($PhNHC(O)NH-$)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)-$]phenyl, 4-chloro-3-[$H_2NS(O)_2-$]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

47. The combination therapy according to claim 44, wherein R^{12} and R^{13} together with the nitrogen atom bound to R^{12} and the carbon atom bound to R^{13} form a heterocyclic or substituted heterocyclic of the formula:



wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally
 5 substituted -CH₂-;

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

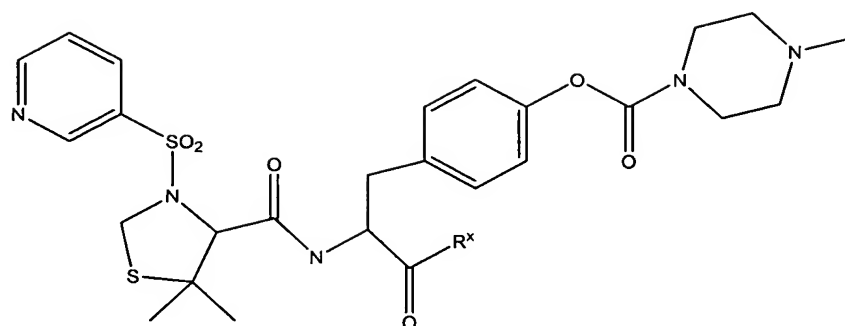
10 48. The combination therapy according to claim 47, wherein *m* is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

49. The combination therapy according to claim 47, wherein R¹² and R¹³
 together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a
 15 heterocyclic or substituted heterocyclic selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, thiomorpholinyl, pyrrolidiny, 4-
 hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-[CH₃S(O)₂O-]pyrrolidiny, 3-
 phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-
 20 methoxypyrrolidiny, 4,4-dimethylpyrrolidiny, 4-*N*-Cbz-piperaziny, 4-[CH₃S(O)₂-]
 piperaziny, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolidin-4-
 yl, 1,1-dioxo-thiazolidiny, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-
 dioxothiomorpholinyl.

25 50. The combination therapy according to claim 44, wherein Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, and 4-pyrid-2-onyl.

51. The combination therapy according to claim 44, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxypiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl-C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxy)ethyl)(CH₃)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

52. The combination therapy according to claim 39, wherein the compound is of formula IC below:



IC

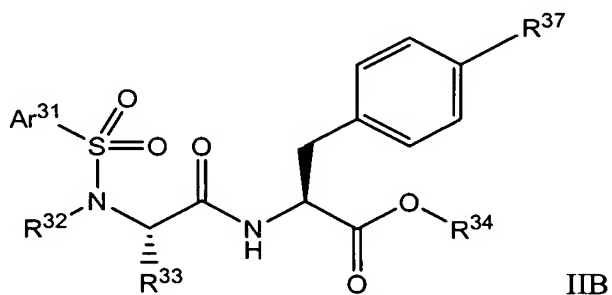
wherein

- 5 R^x is hydroxy or C_{1-5} alkoxy; and
 pharmaceutically acceptable salts thereof.

53. The combination therapy according to claim 52, wherein the compound
 is *N*-[*N*-(3-pyridinesulfonyl)-*L*-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-
 10 ylcarbonyl]-*L*-tyrosine isopropyl ester.

54. The combination therapy according to claim 39, wherein the compound
 is of formula IIB below:

15



IIB

wherein:

Ar³¹ is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R³² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group;

R³³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group;

R³⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R³⁷ is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;

and pharmaceutically acceptable salts thereof.

55. The combination therapy according to claim 54, wherein R³² is alkyl, substituted alkyl, or R³² and R³³ together with the nitrogen atom bound to R³² and the carbon atom bound to R³³ form a heterocyclic or substituted heterocyclic group; and R³⁴ is hydrogen or alkyl.

56. The combination therapy according to claim 54, wherein R³⁷ is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

57. The combination therapy according to claim 56, wherein R³⁷ is substituted aryl, wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

58. The combination therapy according to claim 56, wherein R³⁷ is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

5 59. The combination therapy according to claim 58, wherein R³⁷ is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dione-3-yl.

10 60. The combination therapy according to claim 58, wherein Ar³¹ is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl,
15 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl,
20 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

61. The combination therapy according to any one of claims 44, 52, and 54, wherein the subject in need of remyelination suffers from multiple sclerosis, a
25 congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.



15 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

25 R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-$
 $NR^8R^{8'}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group
consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl,
heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a

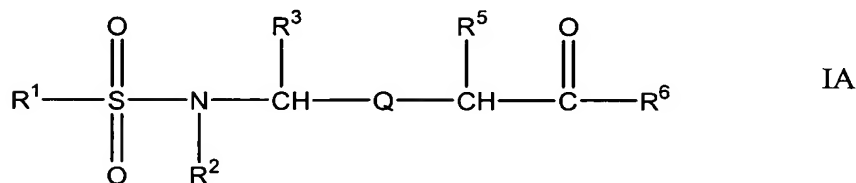
heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

5 x is an integer of from 1 to 4;

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur; and pharmaceutically acceptable salts thereof.

10 63. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IA below



15

wherein:

20 R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

25 R² is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R¹ and R² together with the nitrogen atom bound to R² and the SO₂ group bound to R¹ can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{8''}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, $R^{8''}$ is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-C(O)-$ and $-SO_2-$;

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl;

x is an integer of from 1 to 4;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, $-O-(N-succinimidyl)$, $-NH$ -adamantyl, $-O$ -cholest-5-en-3- β -yl, $-NHOY$ where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where p is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of $-C(O)$ -aryl and $-C(O)$ -substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is

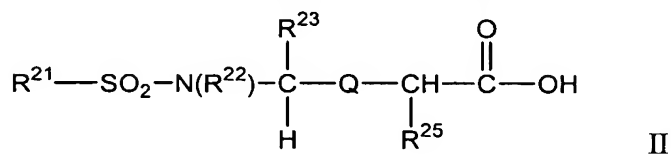
p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

5 (B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrolidinyl ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

10 (C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring, R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃; and

15 (D) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

64. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote
20 remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula II below



wherein:

25 R²¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21}
 5 can form a heterocyclic or a substituted heterocyclic group;

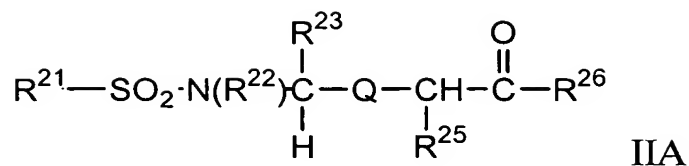
R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and where R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated
 10 heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

Q is $-C(X)NR^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl;

15 X is selected from the group consisting of oxygen and sulfur; and

R^{25} is $-CH_2Ar^{22}-R^{25'}$ where Ar^{22} is aryl or heteroaryl and $R^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;
 20 and pharmaceutically acceptable salts thereof.

65. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to
 25 inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIA below



where

5 R^{21} is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R^{22} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, and R^{21} and R^{22} together with the nitrogen atom bound to R^{22} and the SO_2 group bound to R^{21} can form a heterocyclic or a substituted heterocyclic group;

15 R^{23} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, and substituted heterocyclic, and R^{22} and R^{23} together with the nitrogen atom bound to R^{22} and the carbon atom bound to R^{23} can form a saturated heterocyclic group or a saturated substituted heterocyclic group with the proviso that when monosubstituted, the substituent on said saturated substituted heterocyclic group is not carboxyl;

20 R^{25} is $-\text{CH}_2\text{Ar}^{22}-\text{R}^{25'}$ where Ar^{22} is aryl or heteroaryl and $\text{R}^{25'}$ is selected from the group consisting of aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy, , heterocyclic-O-, substituted heterocyclic-O-, heteroaralkoxy, and substituted heteroaralkoxy ;

25 R^{26} is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3- β -yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where p is an

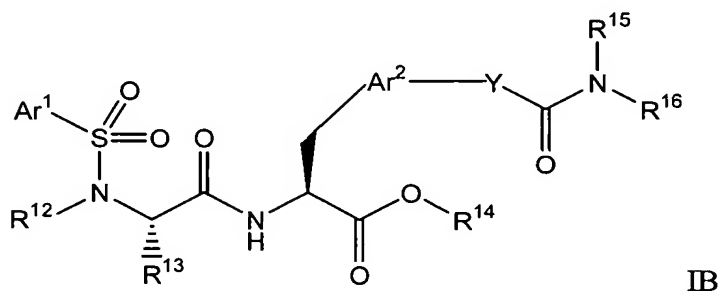
integer of from 1 to 8 and Y is as defined above, $-\text{OCH}_2\text{NR}^{29}\text{R}^{30}$ where R^{29} is selected from the group consisting of $-\text{C}(\text{O})$ -aryl and $-\text{C}(\text{O})$ -substituted aryl and R^{30} is selected from the group consisting of hydrogen and $-\text{CH}_2\text{COOR}^{31}$ where R^{31} is alkyl, and -
 5 $\text{NHSO}_2\text{Z}'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-\text{C}(\text{X})\text{NR}^7$ - wherein R^7 is selected from the group consisting of hydrogen and alkyl; and

X is selected from the group consisting of oxygen and sulfur;

10 and pharmaceutically acceptable salts thereof.

66. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote
 15 remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IB below



20

wherein:

Ar^1 is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

Ar² is selected from the group consisting of aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R¹² is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹³ is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group;

R¹⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl;

R¹⁵ is selected from the group consisting of alkyl, and substituted alkyl, or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group;

R¹⁶ is selected from the group consisting of alkyl and substituted alkyl or R¹⁵ and R¹⁶ together with the nitrogen atom to which they are bound form a heterocyclic or substituted heterocyclic group; and

Y is selected from the group consisting of -O-, -NR¹⁰⁰-, and -CH₂- wherein R¹⁰⁰ is hydrogen or alkyl;

and pharmaceutically acceptable salts thereof.

67. The method according to claim 66, wherein R¹² is alkyl, substituted alkyl, or R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic group; and R¹⁴ is hydrogen or alkyl.

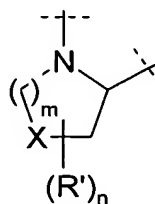
68. The method according to claim 66, wherein

Ar¹ is selected from the group consisting of phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-

chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)
 5)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)-]phenyl, 4-chloro-3-[H₂NS(O)₂-]phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyridine-4-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-
 10 tetrahydroisoquinolin-7-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-*N*-methylimidazol-4-yl, 1-*N*-methylpyrazol-3-yl, 1-*N*-methylpyrazol-4-yl, 1-*N*-butylpyrazol-4-yl, 1-*N*-methyl-3-methyl-5-chloropyrazol-4-yl, 1-*N*-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl; and

Ar² is selected from the group consisting of phenyl, 2-pyridyl, 3-pyridyl, 4-
 15 pyridyl, and 4-pyrid-2-onyl.

69. The method according to claim 66, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic of the formula:



20

wherein

X is selected from the group consisting of -S-, -SO-, -SO₂, and optionally substituted -CH₂-;

25

m is an integer of 0 to 12;

n is an integer of 0 to 2; and

R' is selected from the group consisting of alkyl, substituted alkyl, and amino.

70. The method according to claim 69, wherein m is 1, X is -S- or -CH₂-, R' is alkyl or substituted alkyl.

5

71. The method according to claim 69, wherein R¹² and R¹³ together with the nitrogen atom bound to R¹² and the carbon atom bound to R¹³ form a heterocyclic or substituted heterocyclic selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-[CH₃S(O)₂O-]pyrrolidiny, 3-phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-dimethylpyrrolidiny, 4-N-Cbz-piperaziny, 4-[CH₃S(O)₂-]piperaziny, thiazolidin-3-yl, 5,5-dimethyl-thiazolidin-3-yl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidiny, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholiny.

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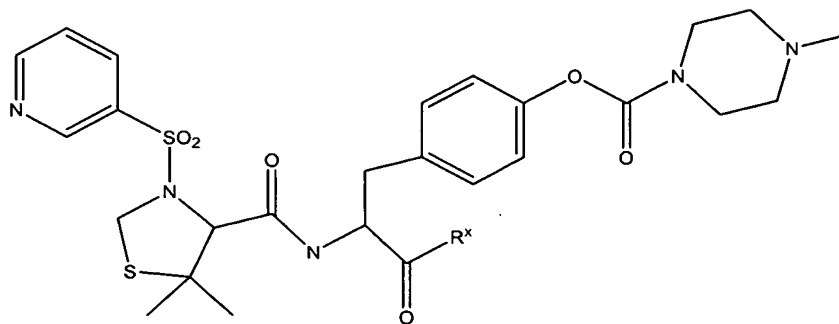
72. The method according to claim 66, wherein Y is -O-, and when Y is -O-, the moiety -OC(O)NR¹⁵R¹⁶ is selected from the group consisting of (CH₃)₂NC(O)O-, (piperidin-1-yl)C(O)O-, (4-hydroxypiperidin-1-yl)C(O)O-, (4-formyloxypiperidin-1-yl)C(O)O-, (4-ethoxycarbonylpiperidin-1-yl)C(O)O-, (4-carboxylpiperidin-1-yl)C(O)O-, (3-hydroxymethylpiperidin-1-yl)C(O)O-, (4-hydroxymethylpiperidin-1-yl)C(O)O-, (4-piperidon-1-yl ethylene ketal)C(O)O-, (piperazin-1-yl)-C(O)O-, (1-Boc-piperazin-4-yl)-C(O)O-, (4-methylpiperazin-1-yl)C(O)O-, (4-methylhomopiperazin-1-yl)C(O)O-, (4-(2-hydroxyethyl)piperazin-1-yl)C(O)O-, (4-phenylpiperazin-1-yl)C(O)O-, (4-(pyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl)C(O)O-, (4-(pyrimidin-2-yl)piperazin-1-yl)C(O)O-, (4-acetylpiperazin-1-yl)C(O)O-, (4-(phenylC(O)-)piperazin-1-yl)C(O)O-, (4-(pyridin-4'-ylC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(O)-)piperazin-1-yl)C(O)O-, (4-(phenylNHC(S)-)piperazin-1-yl)C(O)O-, (4-methanesulfonylpiperazin-1-yl)-C(O)O-, (4-trifluoromethanesulfonylpiperazin-1-yl)-

20

25

C(O)O-, (morpholin-4-yl)C(O)O-, (thiomorpholin-4-yl)C(O)O-, (thiomorpholin-4'-yl sulfone)-C(O)O-, (pyrrolidin-1-yl)C(O)O-, (2-methylpyrrolidin-1-yl)C(O)O-, (2-(methoxycarbonyl)pyrrolidin-1-yl)C(O)O-, (2-(hydroxymethyl)pyrrolidin-1-yl)C(O)O-, (2-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-, (2-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-C(O)O-, (2-(morpholin-4-yl)ethyl)(CH₃)NC(O)O-, (2-(hydroxy)ethyl)(CH₃)NC(O)O-, bis(2-(hydroxy)ethyl)NC(O)O-, (2-(formyloxy)ethyl)(CH₃)NC(O)O-, (CH₃OC(O)CH₂)HNC(O)O-, and 2-[(phenylNHC(O)O-)ethyl-]HNC(O)O-.

73. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IC below



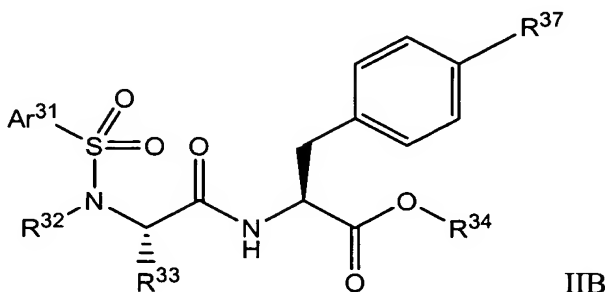
IC

wherein

R^x is hydroxy or C₁₋₅ alkoxy; and
pharmaceutically acceptable salts thereof.

74. The use according to claim 73, wherein the compound is *N*-[*N*-(3-pyridinesulfonyl)-*L*-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-*L*-tyrosine isopropyl ester.

75. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is of formula IIB below



wherein:

Ar^{31} is selected from the group consisting of aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^{32} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, and substituted cycloalkyl or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{33} is selected from the group consisting of hydrogen, alkyl, and substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom bound to R^{33} form a heterocyclic or substituted heterocyclic group;

R^{34} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, and substituted aryl; and

R^{37} is aryl, heteroaryl, substituted aryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, aryloxy, substituted aryloxy, aralkoxy, substituted aralkoxy, heteroaryloxy, substituted heteroaryloxy;
5 and pharmaceutically acceptable salts thereof.

76. The method according to claim 75, wherein R^{32} is alkyl, substituted alkyl, or R^{32} and R^{33} together with the nitrogen atom bound to R^{32} and the carbon atom
10 bound to R^{33} form a heterocyclic or substituted heterocyclic group; R^{34} is hydrogen or alkyl; and R^{37} is aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, or substituted heterocyclic.

77. The method according to claim 75, wherein R^{37} is substituted aryl,
15 wherein the aryl is substituted with one to three substituents independently selected from the group consisting alkyl and alkoxy, or a substituted heteroaryl, wherein the heteroaryl is substituted with one to three substituents independently selected from the group consisting alkyl, alkoxy, and oxo.

20 78. The method according to claim 77, wherein R^{37} is substituted aryl or substituted heteroaryl wherein aryl or heteroaryl is 2,6-di-substituted.

79. The method according to claim 78, wherein R^{37} is selected from the group consisting of 2,6-dialkoxyaryl, 2,6-dialkoxyheteroaryl, 2-alkyl-6-alkoxyaryl, 2-
25 alkyl-6-alkoxyheteroaryl, 2-oxo-6-alkoxyheteroaryl, 2-oxo-6-alkylheteroaryl, and optionally substituted imidazolidin-2,4-dion-3-yl.

80. The method according to claim 75, wherein Ar^{31} is selected from the group consisting of 4-methylphenyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-

methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-(CH₃C(O)NH-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[CH₃SC(=NH)]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[H₂NC(S)]phenyl, 4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, and 1-methylpyrazol-4-yl.

81. A method of reversing paralysis in a subject with a demyelinating disease comprising administering to the subject a compound in an amount sufficient to inhibit lymphocyte infiltration of immune cells in the spinal cord to promote remyelination of nerve cells in the spinal cord and thereby treating paralysis in said subject in need thereof, wherein the compound is selected from the group consisting of:

- N*-[*N*-(3-pyridinesulfonyl)-L-3,3-dimethyl-4-thiaprolyl]-*O*-[1-methylpiperazin-4-ylcarbonyl]-L-tyrosine isopropyl ester;
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester

- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine ethyl ester
- N*-(α -toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoxyloxy)phenylalanine ethyl ester
- 25 *N*-(α -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(α -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester

- N*-(toluene-4-sulfonyl)-L-(4-benzyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-(thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
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- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-L-*N*-methyl-2-(*tert*-butyl)glycinyll-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidin-2-one
- 40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

- N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *iso*-propyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N'-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester
- 25 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester
- 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 35 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine

- N-(toluene-4-sulfonyl)-L-4-oxopropyl-L-4-(N,N-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 5 N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxypropyl-L-4-(N,N-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N-(3-fluorobenzenesulfonyl)-L-propyl-L-4-(N,N-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 10 N-(morpholino-sulfonyl)-L-propyl-L-(4-*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N-(morpholino-sulfonyl)-L-propyl-L-(4-*N,N*-dimethylcarbamoyloxy)phenylalanine
- 15 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 N-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 N-(pyridine-3-sulfonyl)-L-(5,5-dimethyl-thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 30 N-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 35 N-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-(3,3-dimethyl)propyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 40

- N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 5 *N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(4-methoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(1-oxo-thiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3,4-difluorobenzenesulfonyl)-L-prolyl-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 20 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(3,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine ethyl ester
- 35 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 40 *N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

- N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 5 *N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 10 *N*-(3-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(2-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3,5-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 20 *N*-(2,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(4-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 25 *N*-(3-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(2-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 30 *N*-(3,4-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(3,5-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 35 *N*-(3-chlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
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- N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(4-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(2-methoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,4-dimethoxybenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(2,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,4-dichlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(3-chlorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(3-chloro-4-fluorobenzenesulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(1-methylpyrazole-4-sulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiopropyl-L-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 35 *N*-(3,4-difluorobenzenesulfonyl)-L-(thiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(2,5-dichlorothiophene-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
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- N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 5 *N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(8-quinolinesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(8-quinolinesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2,4-difluorobenzenesulfonyl)-L-(1-oxothiomorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester
- 35 *N*-(pyridine-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester
- 40 *N*-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- 5 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester
- 10 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester
- 10 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester
- 20 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-(*N*-phenylurea)benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

- N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(pyridine-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

- N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamoyloxy)]phenylalanine isopropyl ester
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 10 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 35 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- 40 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine

- N*-(toluene-4-sulfonyl)-L-4-oxopropyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-propyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-propyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methyl-1H-imidazole-4-sulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)propyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 20 *N*-(4-cyanobenzenesulfonyl)-L-propyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-amidinobenzenesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-4-oxopropyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-4-hydroxypropyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-propyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(4-amidinobenzenesulfonyl)-L-propyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester
- N*-(3-fluorobenzenesulfonyl)-L-propyl-L-4-(*N,N*-dimethylcarbonyloxy)phenylalanine
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- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy]]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester

- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 20 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine
- 25 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamoyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 40 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 5 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 10 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 20 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 25 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

- N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1-methanesulfonylpyrazin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-*L*-4-(methanesulfonyloxy)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-*L*-(4-hydroxy)prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 40

- N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 5 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylimidazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 30 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester
- N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 40 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof.

5 82. The method according to any one of claims 66, 73, and 75, wherein the subject with paralysis suffers from multiple sclerosis, a congenital metabolic disorder, a neuropathy with abnormal myelination, drug induced demyelination, radiation induced demyelination, a hereditary demyelinating condition, a prion induced demyelinating condition, encephalitis induced demyelination, or a spinal cord injury.

10 83. The method according to any one of claims 66, 73, and 75, wherein the subject is human.

 84. The method according to any one of claims 66, 73, and 75, further comprising co-administering an immunosuppressant.

15 85. The method according to any one of claims 66, 73, and 75, wherein the compound is administered chronically to the subject in need thereof.

 86. The method of a compound according to claim 85, wherein the chronic administration of the compound occurs weekly or monthly for at least 12 months.

20 87. The method of a compound according to claim 84, wherein the immunosuppressant is adrenocorticotrophic hormone, a corticosteroid, or an interferon.

 88. The method of a compound according to claim 87, wherein the interferon is interferon beta-1b or interferon beta-1a.

25 89. The method of a compound according to claim 87, wherein the corticosteroid is prednisone, methylprednisolone, dexamethasone, cortisol, cortisone,

fludrocortisone, prednisolone, 6 α -methylprednisolone, triamcinolone, or betamethasone.